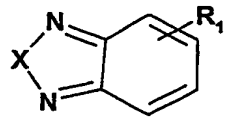
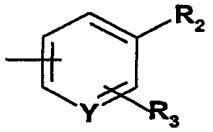
Claims

1. A compound of formula I



I

wherein X is O or S, R_1 is 5-(2-fluoro-ethylamino)-thiazol-2-yl, 5-(2-^{18}F-ethylamino)-thiazol-2-yl or a group of formula (a)

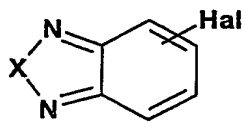


(a)

wherein Y is CH or N, R_2 is $NHCH_3$, $NH^{11}CH_3$, $N(CH_3)^{11}CH_3$, $N(CH_3)_2$, $N(^{11}CH_3)_2$, $NH(CH_2)_nF$, $NH(CH_2)_n{}^{18}F$, $N(CH_3)$-$(CH_2)_nF$, $N(CH_3)$-$(CH_2)_n{}^{18}F$, O-$(CH_2)_nF$, O-$(CH_2)_n{}^{18}F$, $CONH(CH_2)_nF$ or $CONH(CH_2)_n{}^{18}F$ (n being in each case 2 to 4) and R_3 is hydroxy, (C1-4)alkoxy, hydrogen or nitro, in free base or acid addition salt form.

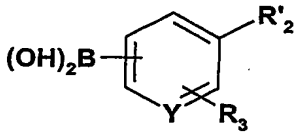
2. A process for the production of a compound of formula I as defined in claim 1 and its salts, comprising the steps of

a) for the production of a compound of formula I which contains no ^{11}C or ^{18}F atom, reacting a compound of formula II



II

wherein X is as defined in claim 1 and Hal is Cl, Br or I, with 5-(2-fluoro-ethylamino)thiazolyl-2-boronic acid or a compound of formula III



III

wherein Y and R_3 are as defined above and R'_2 is a group R_2 as defined above which contains no ^{11}C or ^{18}F atom, or

b) for the production of a compound of formula I wherein R_1 is 5-(2-^{18}F-ethylamino)-thiazol-2-yl, reacting a compound of formula I wherein R_1 is 5-(2-mesyloxy-ethylamino)-thiazol-2-yl or 5-(2-tosyloxy-ethylamino)-thiazol-2-yl with $^{18}F^{\ominus}$, or

c) for the production of a compound of formula I wherein R_2 is $NH^{11}CH_3$, $N(CH_3)^{11}CH_3$ or $N(^{11}CH_3)_2$, reacting a compound of formula I wherein R_2 is NH_2 or $NHCH_3$ with $^{11}CH_3I$, or

d) for the production of a compound of formula I wherein R_2 is $NH(CH_2)_n{}^{18}F$, $N(CH_3)$-$(CH_2)_n{}^{18}F$, O-$(CH_2)_n{}^{18}F$ or $CONH(CH_2)_n{}^{18}F$, reacting a compound of formula I wherein R_2 is, respectively, $NH(CH_2)_nOTs$ or $NH(CH_2)_nOMs$, $N(CH_3)$-$(CH_2)_nOTs$ or $N(CH_3)$-$(CH_2)_n$-OMs, O-$(CH_2)_nOTs$ or O-$(CH_2)_n$-OMs, or $CONH(CH_2)_nOTs$ or $ONH(CH_2)_nOMs$, with $^{18}F^{\ominus}$,

and recovering the resulting compound of formula I in free base form or in form of an acid addition salt.

3. A composition for labeling histopathological structures in vitro or in vivo, comprising a compound of formula I as defined in claim 1, in free base or acid addition salt form.

4. A method for labeling histopathological structures in vitro or in vivo, comprising contacting brain tissue with a compound of formula I as defined in claim 1, in free base or acid addition salt form.

5. A method according to claim 4, for labeling ß-amyloid deposits.

6. A method according to claim 4 or 5, comprising administering the compound of formula I to a patient.

7. A method according to any of claims 4 to 6, comprising the further step of determining whether the compound of formula I labeled the target structure.

8. A method according to claim 7, comprising observing the target structure labeled with a non-radioactive compound of formula I, using fluorescence microscopy.

9. A method according to claim 7, comprising observing the target structure labeled with a radioactive compound of formula I, using positron emission tomography (PET).

10. A method according to any one of claims 4 to 7, and 9 for diagnosing Alzheimer's disease.

11. A method according to claim 10, for monitoring the effectiveness of a therapeutic treatment of Alzheimer's disease.

12. A method according to any of claims 4, 5, 7 and 8, for detecting histopathological hallmarks of Alzheimer's disease.

13. The use of a compound of formula I according to claim 1 for the manufacture of a preparation for the treatment or diagnosis of Alzheimer's disease.

14. A package comprising a compound of formula I wherein R_2 is NH_2 or $NHCH_3$ together with instructions for the production of a compound of formula I wherein R_2 is $NH^{11}CH_3$, $N(CH_3)^{11}CH_3$ or $N(^{11}CH_3)_2$ by reaction of the starting material with freshly prepared $^{11}CH_3I$.

15. A package comprising as starting material a compound of formula I wherein R_2 is $NH(CH_2)_nOTs$, $NH(CH_2)_nOMs$, $N(CH_3)$-$(CH_2)_nOTs$, $N(CH_3)$-$(CH_2)_nOMs$, O-$(CH_2)_nOTs$, O-$(CH_2)_n$-OMs, $CONH(CH_2)_nOTs$ or $ONH(CH_2)_nOMs$, wherein OMs corresponds to mesylate and OTs to tosylate, together with instructions for the production of a

compound of formula I wherein R_2 is $NH(CH_2)_n{}^{18}F$, $N(CH_3)$-$(CH_2)_n{}^{18}F$, O-$(CH_2)_n{}^{18}F$ or $CONH(CH_2)_n{}^{18}F$ by a suitable reaction cascade of the starting material with $^{18}F^{\ominus}$.